

(M)

with a strong base to produce an anion; and

reacting said anion with a suitable Michael acceptor.

Remarks

Claims 1-17, 19-20, 24-26, and 28-37 are pending. The specification was amended to correct obvious, typographical errors. One of skill in the art would understand that recitation of "CH₂(CH₃)₂" is clearly a typographical error and instead should read as "CH(CH₃)₂." Support for these changes can be found in Applicant's original specification, particularly at page 4, lines 19-24, in the table at page 8, in the table at page 9, in the table at page 79, and in the table at page 81. No new matter is added.

Additionally, the specification has been amended to correct an obvious error in the description of the compounds of formula (I). Support for the addition of "pyridylC₁₋₂alkyl, imidazolylC₁₋₂alkyl" to the description of R⁷ can be found in Applicant's original specification, particularly at page 10, lines 20-25, page 11, line 6, Example Ib-5 at page 51, Example Ib-6 at page 51, and Example Ib-8 at page 52. No new matter is added. *subgenus species*

Claim 18 was cancelled and rewritten as new Claim 31. Claim 27 was cancelled and rewritten as new Claim 37. Claims 21-23 have been cancelled. Claim 1 was amended to correct the clerical error described in the preceding paragraph with respect to the amendments to the specification. No new matter is added.

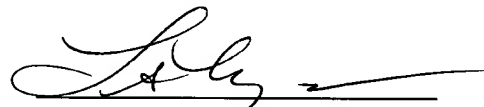
Claims 2-5 were amended to correct an obvious, typographical error. Support for this amendment can be found in Applicant's original specification, particularly at page 4, lines 19-24, in the table at page 8, in the table at page 9, and page 20, line 25. No new matter is added.

Claim 13 was amended to correct an obvious, typographical error. Support for this amendment can be found in Applicant's original specification, particularly at page 3, line 13, and page 10, line 23. No new matter is added.

Claims 31-37 have been added to complete the record. Support for these claims can be found in Applicant's original specification, particularly at page 69, lines 3-31, pages 3-14, page 69, and pages 21-22. No new matter is added.

Applicants respectfully submit that the instant application is in condition for substantive examination, which action is respectfully requested. The Examiner is invited to contact the undersigned at (919) 483-8222 to discuss this case further, if desired.

Respectfully submitted,



Lorie Ann Morgan, Esq.
Reg. No. 38,181

31 Oct '01
GlaxoSmithKline, Inc.
Corporate Intellectual Property
Five Moore Drive
P.O. Box 13398
Research Triangle Park, NC 27709

Marked-up Copy of Specification

Page 5, lines 9-20:

W is H;

X is CH₂ or NH; n is 1;Y is CH₂; m is 0 or 1, provided that if X is CH₂, n is 1 and m is 0, then R¹ is not CH₂CH₃;

Z is O; p is 0 or 1;

R¹ is H, CH₃, CH₂CH₃, (CH₂)₂CH₃, (CH₂)₃CH₃, [CH₂(CH₃)₂] CH(CH₃)₂, CH₂CH(CH₃)₂, C(CH₃)₃, benzyl, 4-pyridylmethyl or 3-pyridylmethyl;R² is phenyl, 2-fluorophenyl, 2-chlorophenyl or 2-pyridyl;R³ is Cl, Br or NO₂;R⁴ is H, CH₃ or CH₂CH₂N(CH₂CH₃)₂;R⁵ and R⁶ together are O or S; or

pharmaceutically acceptable salts and solvates thereof.

Page 5, line 22 and continuing to page 6, line 9:

W is H;

X is CH₂ or NH; n is 1;Y is CH₂; m is 1;

p is 0;

R¹ is H, CH₃, CH₂CH₃, (CH₂)₂CH₃, (CH₂)₃CH₃, [CH₂(CH₃)₂] CH(CH₃)₂, CH₂CH(CH₃)₂, C(CH₃)₃, benzyl, 4-pyridylmethyl or 3-pyridylmethyl; provided that if R¹ is 3-pyridylmethyl or 4-pyridylmethyl, then X is CH₂, n is 1, Y is CH₂, m is 0 or 1, R² is 2-fluorophenyl, R³ is Cl, R⁴ is H and R⁵ and R⁶ together is oxygen;R² is phenyl, 2-fluorophenyl, 2-chlorophenyl or 2-pyridyl,R³ is Cl, Br or NO₂;R⁴ is H, CH₃ or CH₂CH₂N(CH₂CH₃)₂; provided that when R⁴ is CH₂CH₂N(CH₂CH₃)₂, then X is CH₂, n is 1, Y is CH₂, m is 1, R¹ is CH₃ or benzyl, R² is 2-fluorophenyl, R³ is Cl and R⁵ and R⁶ together represent O; R⁵ and R⁶ together are O or S; or

pharmaceutically acceptable salts and solvates thereof.

Page 6, lines 12-23:

W is H;

X is CH₂ or NH; n is 1;

Y is CH₂; m is 0 or 1, provided that if X is CH₂ and m is 0, then R¹ is not CH₂CH₃;

p is 0;

R¹ is CH₃, CH₂CH₃, (CH₂)₂CH₃, (CH₂)₃CH₃, [CH₂(CH₃)₂] CH(CH₃)₂, CH₂CH(CH₃)₂, C(CH₃)₃, benzyl or 4-pyridylmethyl;

R² is 2-fluorophenyl, 2-chlorophenyl or 2-pyridyl,

R³ is Cl, Br or NO₂;

R⁴ is H, CH₃ or CH₂CH₂N(CH₂CH₃)₂;

R⁵ and R⁶ together are O or S; or

pharmaceutically acceptable salts and solvates thereof.

Paragraph beginning on page 6, line 25 and continuing to page 7, line 9:

W is H;

X is CH₂ or NH; n is 1;

Y is CH₂; m is 0 or 1, provided that if X is CH₂ and m is 0, then R¹ is not CH₂CH₃;

p is 0;

R¹ is CH₃, CH₂CH₃, (CH₂)₂CH₃, (CH₂)₃CH₃, [CH₂(CH₃)₂] CH(CH₃)₂, CH₂CH(CH₃)₂, C(CH₃)₃, benzyl or 4-pyridylmethyl; provided that when R¹ is 4-pyridylmethyl, X is CH₂, Y is CH₂, m is 1, R² is 2-fluorophenyl, R³ is Cl, R⁴ is H and R⁵ and R⁶ together represent oxygen;

R² is 2-fluorophenyl, 2-chlorophenyl or 2-pyridyl,

R³ is Cl, Br or NO₂;

R⁴ is H, CH₃ or CH₂CH₂N(CH₂CH₃)₂; provided that when R⁴ is CH₂CH₂N(CH₂CH₃)₂, then X is CH₂, Y is CH₂, m is 1, R¹ is CH₃ or benzyl, R² is 2-fluorophenyl, R³ is Cl and R⁵ and R⁶ together represent O;

R⁵ and R⁶ together represent O or S; or

pharmaceutically acceptable salts and solvates thereof.

Paragraph on page 3, lines 5-25:

wherein

W is H or C₁-C₄ branched alkyl or a straight chained alkyl;

X is CH₂, NH, or NCH₃; n is 1 or 2;

Y is O, CH₂; m is 0 or 1, provided that if X is CH₂, n is 1 and m is 0, then R¹ is not CH₂CH₃;

Z is O; p is 0 or 1;

R¹ is H, a C₁-C₇ straight chain alkyl, a C₃-C₇ branched chain alkyl, a C₁-C₄ haloalkyl, a C₃-C₇ cycloalkyl, an aryl, a heteroaryl, an aralkyl, or a heteroaralkyl;

R² is phenyl, 2-halophenyl or 2-pyridyl,

R³ is H, Cl, Br, F, I, CF₃ or NO₂;

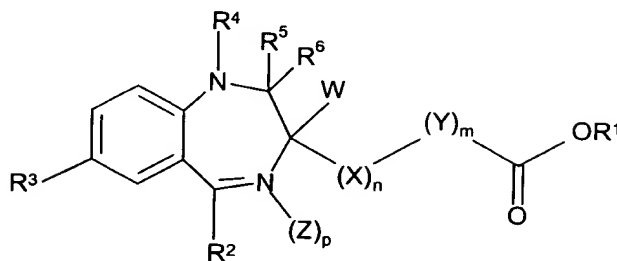
(1) R⁴ is H, C₁-C₄ alkyl, or dialkylaminoalkyl and R⁵ and R⁶ together represent a single oxygen or S atom which is linked to the diazepine ring by a double bond and p is zero or 1 (as depicted in formula Ia); or (2) R⁴ and R⁵ together form a double bond in the diazepine ring and R⁶ represents the group NHR⁷ wherein R⁷ is H, C₁₋₄ alkyl, C₁₋₄ hydroxyalkyl, pyridylC₁₋₂alkyl, imidazolylC₁₋₂alkyl, benzyl or benzyl mono or disubstituted independently with halogen substituents, C₁₋₄alkylpyridyl or C₁₋₄alkylimidazolyl and p is zero (as depicted in formula Ib);

or (3) R⁴, and R⁶ form the group -CR⁸=U-V= wherein R⁸ is hydrogen, C₁₋₄ alkyl, or C₁₋₃ hydroxyalkyl, U is N or CR⁹ wherein R⁹ is H, C₁₋₄alkyl, C₁₋₃hydroxyalkyl or C₁₋₄alkoxy- C₁₋₄alkyl, V is N or CH and p is zero (as depicted in formula Ic);

or pharmaceutically acceptable salts and or solvates thereof.

Marked-up Copy of Amended Claims

1. (Amended) A compound of formula (I):



Formula (I)

wherein

W is H, a C₁-C₄ branched alkyl, or straight chained alkyl;

X is CH₂, NH or NCH₃; n is 1 or 2;

Y is O or CH₂; m is 0 or 1, provided that if X is CH₂, n is 1 and m is 0, then R¹ is not CH₂CH₃;

Z is O; p is 0 or 1;

R¹ is H, a C₁-C₇ straight chain alkyl, a C₃-C₇ branched chain alkyl, a C₁-C₄ haloalkyl, a C₃-C₇ cycloalkyl, an aryl, a heteroaryl, an aralkyl, or a heteroaralkyl;

R² is phenyl, 2-halophenyl or 2-pyridyl,

R³ is H, Cl, Br, F, I, CF₃ or NO₂; and wherein

(1) R⁴ is H, a C₁-C₄ alkyl, or a dialkylaminoalkyl and R⁵ and R⁶ together represent a single oxygen or S atom which is linked to the diazepine ring by a double bond and p is zero or 1; or (2) R⁴ and R⁵ together is a double bond in

the diazepine ring and R^6 represents the group NHR^7 wherein R^7 is H, C_{1-4} alkyl, C_{1-4} hydroxyalkyl, pyridyl C_{1-2} alkyl, imidazolyl C_{1-2} alkyl, benzyl, [or] benzyl mono or disubstituted independently with halogen substituents, C_{1-4} alkylpyridyl or C_{1-4} [alkylimidazolyl] alkylimidazolyl and p is zero;
 or (3) R^4 , R^5 and R^6 form the group $-CR^8=U-V=$ wherein R^8 is hydrogen, C_{1-4} alkyl or C_{1-3} hydroxyalkyl, U is N or CR^9 wherein R^9 is H, C_{1-4} alkyl, C_{1-3} hydroxyalkyl or C_{1-4} alkoxy, C_{1-4} alkyl, V is N or CH and p is zero; [or] and pharmaceutically acceptable salts [and] or solvates thereof.

2. (Amended) A compound according to claim 1 wherein

W is H;

X is CH_2 or NH; n is 1;

Y is CH_2 ; m is 0 or 1, provided that if X is CH_2 , n is 1 and m is 0, then R^1 is not CH_2CH_3 ;

Z is O; p is 0 or 1;

R^1 is H, CH_3 , CH_2CH_3 , $(CH_2)_2CH_3$, $(CH_2)_3CH_3$, $[CH_2(CH_3)_2]$ $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $C(CH_3)_3$, benzyl, 4-pyridylmethyl or 3-pyridylmethyl;

R^2 is phenyl, 2-fluorophenyl, 2-chlorophenyl or 2-pyridyl;

R^3 is Cl, Br or NO_2 ;

R^4 is H, CH_3 or $CH_2CH_2N(CH_2CH_3)_2$;

R^5 and R^6 together are either O or S; [or] and

pharmaceutically acceptable salts [and] or solvates thereof.

3. (Amended) A compound according to claim 1 wherein

W is H;

X is CH_2 or NH; n is 1;

Y is CH_2 ; m is 1;

p is 0;

R^1 is H, CH_3 , CH_2CH_3 , $(CH_2)_2CH_3$, $(CH_2)_3CH_3$, $[CH_2(CH_3)_2]$ $CH(CH_3)_2$, $CH_2CH(CH_3)_2$, $C(CH_3)_3$, benzyl, 4-pyridylmethyl or 3-pyridylmethyl; provided that if R^1 is 3-pyridylmethyl or 4-pyridylmethyl, then X is CH_2 , n is 1, Y is CH_2 , m is 0 or 1, R^2 is 2-fluorophenyl, R^3 is Cl, R^4 is H and R^5 and R^6 together are O;

R^2 is phenyl, 2-fluorophenyl, 2-chlorophenyl or 2-pyridyl,

R^3 is Cl, Br or NO_2 ;

R^4 is H, CH_3 or $\text{CH}_2\text{CH}_2\text{N}(\text{CH}_2\text{CH}_3)_2$; provided that when R^4 is $\text{CH}_2\text{CH}_2\text{N}(\text{CH}_2\text{CH}_3)_2$, X is CH_2 , n is 1, Y is CH_2 , m is 1, R^1 is CH_3 or benzyl, R^2 is 2-fluorophenyl, R^3 is Cl and R^5 and R^6 together is O;

R^5 and R^6 together are O or S; [or] and

pharmaceutically acceptable salts [and] or solvates thereof.

4. (Amended) A compound according to claim 1 wherein

W is H;

X is CH_2 or NH; n is 1;

Y is CH_2 ; m is 0 or 1, provided that if X is CH_2 and m is 0, then R^1 is not CH_2CH_3 ;

p is 0;

R^1 is CH_3 , CH_2CH_3 , $(\text{CH}_2)_2\text{CH}_3$, $(\text{CH}_2)_3\text{CH}_3$, $[\text{CH}_2(\text{CH}_3)_2]$ $\text{CH}(\text{CH}_3)_2$, $\text{CH}_2\text{CH}(\text{CH}_3)_2$, $\text{C}(\text{CH}_3)_3$, benzyl or 4-pyridylmethyl;

R^2 is 2-fluorophenyl, 2-chlorophenyl or 2-pyridyl,

R^3 is Cl, Br or NO_2 ;

R^4 is H, CH_3 or $\text{CH}_2\text{CH}_2\text{N}(\text{CH}_2\text{CH}_3)_2$;

R^5 and R^6 together [is] are O or S; [or] and

pharmaceutically acceptable salts [and] or solvates thereof.

5. (Amended) A compound according to claim 1 wherein

W is H;

X is CH_2 or NH; n is 1;

Y is CH_2 ; m is 0 or 1, provided that if X is CH_2 and m is 0, then R^1 is not CH_2CH_3 ;

p is 0;

R^1 is CH_3 , CH_2CH_3 , $(\text{CH}_2)_2\text{CH}_3$, $(\text{CH}_2)_3\text{CH}_3$, $[\text{CH}_2(\text{CH}_3)_2]$ $\text{CH}(\text{CH}_3)_2$, $\text{CH}_2\text{CH}(\text{CH}_3)_2$, $\text{C}(\text{CH}_3)_3$, benzyl or 4-pyridylmethyl; provided that when R^1 is 4-pyridylmethyl, then X is CH_2 , n is 1, Y is CH_2 , m is 1, R^2 is 2-fluorophenyl, R^3 is Cl, R^4 is H and R^5 and R^6 together [is] are O;

R^2 is 2-fluorophenyl, 2-chlorophenyl or 2-pyridyl,

R^3 is Cl, Br or NO_2 ;

R^4 is H, CH_3 or $\text{CH}_2\text{CH}_2\text{N}(\text{CH}_2\text{CH}_3)_2$; provided that when R^4 is $\text{CH}_2\text{CH}_2\text{N}(\text{CH}_2\text{CH}_3)_2$, X is CH_2 , n is 1, Y is CH_2 , m is 1, R^1 is CH_3 or benzyl, R^2 is 2-fluorophenyl, R^3 is Cl and R^5 and R^6 together [is] are O;

R^5 and R^6 together are O or S; [or] and

pharmaceutically acceptable salts [and] or solvates thereof.

6. (Amended) A compound according to claim 1 wherein [in each compound] W is H and [wherein] X, n, Y, m, Z, p and R^{1-6} for each compound are as follows:

| X | n | Y | M | Z | p | R^1 | R^2 | R^3 | R^4 | R^5R^6 |
|---------------|---|---------------|---|----|---|---------------------------------------|----------------|---------------|--|----------|
| CH_2 | 1 | CH_2 | 1 | -- | 0 | CH_3 | 2-fluorophenyl | Cl | H | O |
| CH_2 | 1 | -- | 0 | -- | 0 | CH_3 | 2-fluorophenyl | Cl | H | O |
| CH_2 | 1 | CH_2 | 1 | -- | 0 | CH_3 | 2-fluorophenyl | Br | H | O |
| CH_2 | 1 | CH_2 | 1 | -- | 0 | benzyl | 2-fluorophenyl | Cl | H | O |
| CH_2 | 1 | -- | 0 | -- | 0 | benzyl | 2-fluorophenyl | Cl | H | O |
| CH_2 | 1 | CH_2 | 1 | -- | 0 | CH_3 | 2-chlorophenyl | Cl | H | O |
| CH_2 | 1 | CH_2 | 2 | -- | 0 | CH_3 | 2-fluorophenyl | Cl | H | O |
| CH_2 | 1 | CH_2 | 1 | -- | 0 | benzyl | 2-pyridyl | Cl | H | O |
| CH_2 | 1 | CH_2 | 1 | -- | 0 | CH_3 | 2-pyridyl | Br | H | O |
| CH_2 | 1 | CH_2 | 1 | -- | 0 | CH_3 | 2-pyridyl | Cl | H | O |
| CH_2 | 1 | CH_2 | 2 | -- | 0 | $\text{C}(\text{CH}_3)_3$ | 2-fluorophenyl | Cl | H | O |
| CH_2 | 1 | CH_2 | 1 | -- | 0 | CH_3 | 2-fluorophenyl | NO_2 | H | O |
| CH_2 | 1 | CH_2 | 1 | -- | 0 | $(\text{CH}_2)_2\text{CH}_3$ | 2-pyridyl | Cl | H | O |
| CH_2 | 1 | CH_2 | 1 | -- | 0 | CH_2CH_3 | 2-pyridyl | Cl | H | O |
| CH_2 | 1 | CH_2 | 1 | -- | 0 | 4-pyridylmethyl | 2-fluorophenyl | Cl | H | O |
| CH_2 | 1 | CH_2 | 1 | -- | 0 | $(\text{CH}_2)_3\text{CH}_3$ | 2-fluorophenyl | Cl | H | O |
| CH_2 | 1 | CH_2 | 1 | -- | 0 | $(\text{CH}_2)_3\text{CH}_3$ | 2-pyridyl | Cl | H | O |
| CH_2 | 1 | CH_2 | 1 | -- | 0 | $\text{CH}_2\text{CH}(\text{CH}_3)_2$ | 2-pyridyl | Cl | H | O |
| CH_2 | 1 | -- | 0 | -- | 0 | CH_2CH_3 | 2-fluorophenyl | Cl | H | O |
| CH_2 | 1 | CH_2 | 1 | -- | 0 | $\text{CH}(\text{CH}_3)_2$ | 2-fluorophenyl | Cl | H | O |
| CH_2 | 1 | CH_2 | 1 | -- | 0 | CH_3 | 2-fluorophenyl | Cl | $\text{CH}_2\text{CH}_2\text{N}(\text{CH}_2\text{CH}_3)_2$ | O |

| | | | | | | | | | | |
|-----------------|---|-----------------|---|----|---|---|----------------|-----------------|--|---|
| CH ₂ | 1 | CH ₂ | 1 | -- | 0 | CH ₃ | 2-fluorophenyl | Cl | CH ₃ | O |
| CH ₂ | 1 | -- | 0 | -- | 0 | benzyl | 2-fluorophenyl | Cl | CH ₃ | O |
| CH ₂ | 1 | CH ₂ | 1 | -- | 0 | benzyl | 2-fluorophenyl | Cl | CH ₂ CH ₂ N(CH ₂ CH ₃) ₂ | O |
| NH | 1 | CH ₂ | 1 | -- | 0 | CH ₃ | 2-chlorophenyl | Cl | H | O |
| NH | 1 | CH ₂ | 2 | -- | 0 | CH ₃ | 2-chlorophenyl | Cl | H | O |
| CH ₂ | 1 | CH ₂ | 1 | -- | 0 | CH ₃ | 2-fluorophenyl | Cl | H | S |
| CH ₂ | 1 | CH ₂ | 1 | -- | 0 | CH ₃ | 2-chlorophenyl | Cl | H | S |
| CH ₂ | 1 | CH ₂ | 1 | -- | 0 | CH ₃ | 2-pyridyl | Cl | H | S |
| CH ₂ | 1 | CH ₂ | 1 | O | 1 | CH ₃ | 2-fluorophenyl | Cl | H | O |
| CH ₂ | 1 | CH ₂ | 1 | -- | 0 | benzyl | phenyl | NO ₂ | H | O |
| CH ₂ | 1 | CH ₂ | 1 | -- | 0 | CH ₃ | 2-fluorophenyl | H | H | O |
| CH ₂ | 1 | CH ₂ | 1 | -- | 0 | CH ₃ | 2-pyridyl | NO ₂ | H | O |
| CH ₂ | 1 | CH ₂ | 1 | -- | 0 | benzyl | 2-pyridyl | NO ₂ | H | O |
| CH ₂ | 1 | CH ₂ | 1 | -- | 0 | benzyl | 2-fluorophenyl | H | H | O |
| CH ₂ | 1 | CH ₂ | 1 | -- | 0 | CH ₃ | phenyl | NO ₂ | H | O |
| NH | 1 | CH ₂ | 2 | -- | 0 | (CH ₂) ₃ CH ₃ | 2-fluorophenyl | Cl | H | O |
| CH ₂ | 1 | -- | 0 | -- | 0 | 3-pyridylmethyl | 2-fluorophenyl | Cl | H | O |
| CH ₂ | 1 | -- | 0 | -- | 0 | 4-pyridylmethyl | 2-fluorophenyl | Cl | H | O |

7. (Amended) A compound according to claim 1 wherein [in each compound] W is H and [wherein] X, n, Y, m, Z, p and R¹⁻⁶ for each compound are as follows:

| X | n | Y | M | Z | p | R ¹ | R ² | R ³ | R ⁴ | R ⁵ R ⁶ |
|-----------------|---|-----------------|---|----|---|-----------------|----------------|----------------|----------------|-------------------------------|
| CH ₂ | 1 | CH ₂ | 1 | -- | 0 | CH ₃ | 2-fluorophenyl | Cl | H | O |
| CH ₂ | 1 | -- | 0 | -- | 0 | CH ₃ | 2-fluorophenyl | Cl | H | O |
| CH ₂ | 1 | CH ₂ | 1 | -- | 0 | CH ₃ | 2-fluorophenyl | Br | H | O |
| CH ₂ | 1 | CH ₂ | 1 | -- | 0 | benzyl | 2-fluorophenyl | Cl | H | O |
| CH ₂ | 1 | -- | 0 | -- | 0 | benzyl | 2-fluorophenyl | Cl | H | O |
| CH ₂ | 1 | CH ₂ | 1 | -- | 0 | CH ₃ | 2-chlorophenyl | Cl | H | O |
| CH ₂ | 1 | CH ₂ | 2 | -- | 0 | CH ₃ | 2-fluorophenyl | Cl | H | O |

| | | | | | | | | | | |
|-----------------|---|-----------------|---|----|---|---|----------------|-----------------|--|---|
| CH ₂ | 1 | CH ₂ | 1 | -- | 0 | benzyl | 2-pyridyl | Cl | H | O |
| CH ₂ | 1 | CH ₂ | 1 | -- | 0 | CH ₃ | 2-pyridyl | Br | H | O |
| CH ₂ | 1 | CH ₂ | 1 | -- | 0 | CH ₃ | 2-pyridyl | Cl | H | O |
| CH ₂ | 1 | CH ₂ | 2 | -- | 0 | C(CH ₃) ₃ | 2-fluorophenyl | Cl | H | O |
| CH ₂ | 1 | CH ₂ | 1 | -- | 0 | CH ₃ | 2-fluorophenyl | NO ₂ | H | O |
| CH ₂ | 1 | CH ₂ | 1 | -- | 0 | (CH ₂) ₂ CH ₃ | 2-pyridyl | Cl | H | O |
| CH ₂ | 1 | CH ₂ | 1 | -- | 0 | CH ₂ CH ₃ | 2-pyridyl | Cl | H | O |
| CH ₂ | 1 | CH ₂ | 1 | -- | 0 | 4-pyridylmethyl | 2-fluorophenyl | Cl | H | O |
| CH ₂ | 1 | CH ₂ | 1 | -- | 0 | (CH ₂) ₃ CH ₃ | 2-fluorophenyl | Cl | H | O |
| CH ₂ | 1 | CH ₂ | 1 | -- | 0 | (CH ₂) ₃ CH ₃ | 2-pyridyl | Cl | H | O |
| CH ₂ | 1 | CH ₂ | 1 | -- | 0 | CH ₂ CH(CH ₃) ₂ | 2-pyridyl | Cl | H | O |
| CH ₂ | 1 | -- | 0 | -- | 0 | CH ₂ CH ₃ | 2-fluorophenyl | Cl | H | O |
| CH ₂ | 1 | CH ₂ | 1 | -- | 0 | CH(CH ₃) ₂ | 2-fluorophenyl | Cl | H | O |
| CH ₂ | 1 | CH ₂ | 1 | -- | 0 | CH ₃ | 2-fluorophenyl | Cl | CH ₂ CH ₂ N(CH ₂ CH ₃) ₂ | O |
| CH ₂ | 1 | CH ₂ | 1 | -- | 0 | CH ₃ | 2-fluorophenyl | Cl | CH ₃ | O |
| CH ₂ | 1 | -- | 0 | -- | 0 | benzyl | 2-fluorophenyl | Cl | CH ₃ | O |
| CH ₂ | 1 | CH ₂ | 1 | -- | 0 | benzyl | 2-fluorophenyl | Cl | CH ₂ CH ₂ N(CH ₂ CH ₃) ₂ | O |
| NH | 1 | CH ₂ | 1 | -- | 0 | CH ₃ | 2-chlorophenyl | Cl | H | O |
| NH | 1 | CH ₂ | 2 | -- | 0 | CH ₃ | 2-chlorophenyl | Cl | H | O |
| CH ₂ | 1 | CH ₂ | 1 | -- | 0 | CH ₃ | 2-fluorophenyl | Cl | H | S |
| CH ₂ | 1 | CH ₂ | 1 | -- | 0 | CH ₃ | 2-chlorophenyl | Cl | H | S |
| CH ₂ | 1 | CH ₂ | 1 | -- | 0 | CH ₃ | 2-pyridyl | Cl | H | S |
| CH ₂ | 1 | CH ₂ | 1 | O | 1 | CH ₃ | 2-fluorophenyl | Cl | H | O |

8. (Amended) A compound according to claim 1 wherein [in each compound] W is H, [and] p is 0, and [wherein] X, n, Y, m, R¹⁻⁵ for each compound are as follows:

| X | n | Y | m | R ¹ | R ² | R ³ | R ⁴ | R ⁵ and R ⁶ |
|-----------------|---|-----------------|---|-----------------|----------------|----------------|----------------|-----------------------------------|
| CH ₂ | 1 | CH ₂ | 1 | CH ₃ | 2-fluorophenyl | Cl | H | O |

| | | | | | | | | |
|-----------------|---|-----------------|---|-----------------|----------------|----|-----------------|----|
| CH ₂ | 1 | CH ₂ | 1 | CH ₃ | 2-fluorophenyl | Br | H | O |
| CH ₂ | 1 | CH ₂ | 1 | CH ₃ | 2-pyridyl | Cl | H | O |
| CH ₂ | 1 | CH ₂ | 1 | CH ₃ | 2-fluorophenyl | Cl | CH ₃ | O. |

9. (Amended) A compound according to claim 1 wherein W is H, X is CH₂, n is 1, Y is CH₂, m is 1, p is 0, R¹ is CH₃, R² is 2-fluorophenyl, R³ is Cl, R⁴ is H and R⁵ and R⁶ together [is] are O.

12. (Amended) A compound according to claim 10, wherein [in each compound] W is H, X is CH₂, n is 1, Y is CH₂, m is 1, R¹ is CH₃, and [wherein] R², R³ and R⁷ [for each compound] are as follows:

| R ² | R ³ | R ⁷ |
|----------------|----------------|---|
| 2-fluorophenyl | Cl | CH ₃ |
| 2-pyridyl | Cl | CH ₃ |
| 2-fluorophenyl | Cl | CH ₂ CH ₃ |
| 2-fluorophenyl | Cl | benzyl |
| 2-fluorophenyl | Cl | 4-pyridylmethyl |
| 2-fluorophenyl | Cl | 4-pyridylethyl |
| 2-fluorophenyl | Cl | CH ₂ CH(CH ₃) ₂ |
| 2-fluorophenyl | Cl | 2-(4-imidazolyl)ethyl |
| 2-fluorophenyl | Cl | CH ₂ CH ₂ OH |
| 2-fluorophenyl | Br | CH ₃ |
| 2-chlorophenyl | Cl | CH ₃ . |

13. (Amended) A compound according to claim 10, wherein [in each compound] W is H, X is CH₂, n is 1, Y is CH₂, m is 1, R¹ is CH₃, [R³] R² is 2-fluorophenyl, R³ is chlorine or bromine and R⁷ is methyl.

15. (Amended) A compound [of] according to claim 1 wherein p is zero and R⁴, R⁵ and R⁶ together form the group -C(R⁸)=U-V=.

19. (Amended) A compound according to claim 15, wherein [in each compound] W is H, X is CH₂, n is 1, Y is CH₂, m is 1 and [wherein] R¹, R², R³, R⁸, U and V [for each compound] are as follows:

| R ¹ | R ² | R ³ | R ⁸ | U | V |
|-----------------|----------------|----------------|-----------------|-------------------|----|
| CH ₃ | 2-pyridyl | Br | CH ₃ | CH | N |
| CH ₃ | 2-pyridyl | Cl | CH ₃ | CH | N |
| CH ₃ | 2-fluorophenyl | Cl | CH ₃ | N | CH |
| CH ₃ | 2-pyridyl | Br | H | C-CH ₃ | N. |

20. (Amended) A compound according to claim 15, wherein [in] W is H, X is CH₂, n is 1, Y is CH₂, m is 1, R¹ is CH₃, R² is 2-pyridyl, R³ is Br, R⁸ is CH₃, U is CH and V is N.
24. (Amended) A method of producing sedation or hypnosis, inducing anxiolysis, inducing muscle relaxation [in a mammal] or treating convulsions in a mammal which comprises administering to the mammal an effective amount of a compound of claim 1.
25. (Amended) A method of producing sedation or hypnosis, inducing anxiolysis, inducing muscle relaxation [in a mammal] or treating convulsions in a mammal which comprises administering to the mammal an effective amount of a compound of claim 10.
26. (Amended) A method of producing sedation or hypnosis, inducing anxiolysis, inducing muscle relaxation [in a mammal] or treating convulsions in a mammal which comprises administering to the mammal an effective amount of a compound of claim 15.